* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 15:19:17 ON 18 JAN 2005

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 15:19:41 ON 18 JAN 2005
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STRUCTURE FILE UPDATES: 17 JAN 2005 HIGHEST RN 815574-28-8
DICTIONARY FILE UPDATES: 17 JAN 2005 HIGHEST RN 815574-28-8

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

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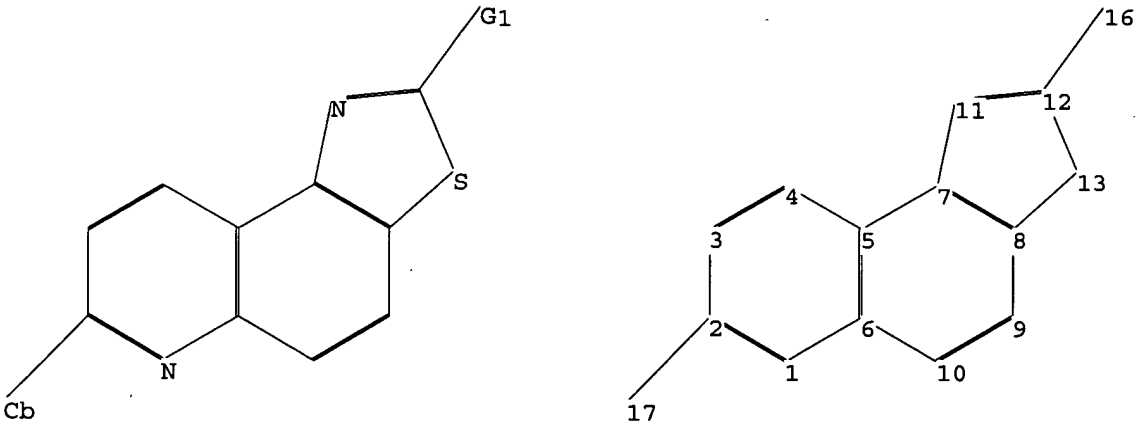
Uploading C:\STNEXP4\QUERIES\10-805860.str



chain nodes :
16 17
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13
chain bonds :
2-17 12-16
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 7-11 8-9 8-13 9-10 11-12 12-13
exact/norm bonds :

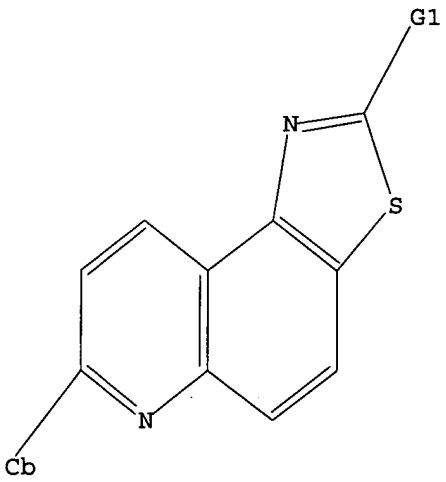
7-11 11-12 12-16
exact bonds :
2-17 8-13 12-13
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10
isolated ring systems :
containing 1 :

G1:C,H

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 16:CLASS 17:Atom

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



G1 C,H

Structure attributes must be viewed using STN Express query preparation.

=> s l1 ful
FULL SEARCH INITIATED 15:19:57 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 622 TO ITERATE

100.0% PROCESSED 622 ITERATIONS 4 ANSWERS
SEARCH TIME: 00.00.01

L2 4 SEA SSS FUL L1

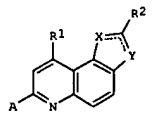
=> fil caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 161.33 161.54

L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:872797 CAPLUS
DOCUMENT NUMBER: 141:366224
TITLE: Tricyclic heteroaromatic compounds, particularly amino-substituted imidazoquinolines and thiazoloquinolines, with activity as N-type calcium channel blockers, and their preparation, pharmaceutical compositions, and use as analgesics
INVENTOR(S): Hauel, Norbert; Draheim, Henning
PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany; Boehringer Ingelheim Pharma GmbH & Co. Kg
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004089950	A1	20041021	WO 2004-EP3626	20040406
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10316659	A1	20041028	DE 2003-10316659	20030411
US 2004214833	A1	20041028	US 2004-805860	20040322
PRIORITY APPLN. INFO.:			DE 2003-10316659 A	20030411
			US 2003-465161P P	20030424

OTHER SOURCE(S): MARPAT 141:366224
GI

L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



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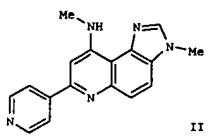

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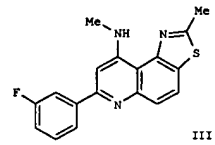


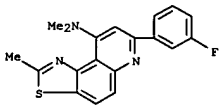
III

AB The invention relates to tricyclic heteroarom. compds. I and salts thereof [wherein: X = N, O, or S; Y = N when X = O or S; or Y = NR3, O, or S when X = N; A = (un)substituted mono-, di-, or tricyclic (hetero)aromatic with 0-3 N/O/S atoms, with at least one heteroatom being N; R1 = OH, F, Cl, Br, (di)(alkyl)amino, (di)cycloalkylamino, alkylcycloalkylamino, azetidin-1-yl, pyrrolidin-1-yl, pyrrolin-1-yl, imidazolidin-1-yl, imidazolin-1-yl, pyrazolidin-1-yl, pyrazolin-1-yl, piperidin-1-yl, piperazin-1-yl, morpholin-4-yl, thiomorpholin-4-yl, thiomorpholin-S-oxide-4-yl, thiomorpholin-S-dioxide-4-yl, or hexamethylenimino; R2, R3 = (independently) H, (cyclo)alkyl]. The invention further relates to the production of I and salts, and the use thereof as medicaments, in particular as analgesics. Ten compds. were prepared For instance, 3-oxo-3-(pyridin-4-yl)propionic acid Et ester was condensed with methylamine (as the acetate) (98%) to give an enamine, followed by amine exchange with 5-amino-1-methylbenzimidazole (26%), cyclocondensation to form the quinoline N-ring (82%), chlorination of the resultant phenolic hydroxy with POCl3 (23%), and aminolysis of the chloride with methylamine (17%), to give invention compound II. In a patch-clamp experiment involving recombinant HEK 293 cells expressing N-type calcium channels, invention compound III had an IC50 value of 2.0 μM.

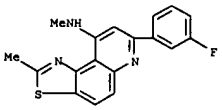
IT **778624-10-5P**, 9-(Dimethylamino)-7-(3-fluorophenyl)-2-methylthiazolo[4,5-f]quinoline **778624-12-7P**, 7-(3-Fluorophenyl)-2-methyl-9-(methylamino)thiazolo[4,5-f]quinoline
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of amino-substituted imidazoquinolines and thiazoloquinolines as N-type calcium channel blockers for use as analgesics)

L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 778624-10-5 CAPLUS
CN Thiazolo[4,5-f]quinolin-9-amine, 7-(3-fluorophenyl)-N,N,2-trimethyl- (9CI)
(CA INDEX NAME)

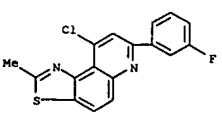


RN 778624-12-7 CAPLUS
CN Thiazolo[4,5-f]quinolin-9-amine, 7-(3-fluorophenyl)-N,2-dimethyl- (9CI)
(CA INDEX NAME)



IT **778624-21-8**, 9-Chloro-7-(3-fluorophenyl)-2-methylthiazolo[4,5-f]quinoline
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of amino-substituted imidazoquinolines and thiazoloquinolines as N-type calcium channel blockers for use as analgesics)

RN 778624-21-8 CAPLUS
CN Thiazolo[4,5-f]quinoline, 9-chloro-7-(3-fluorophenyl)-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

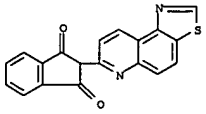
L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L3 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1973:5375 CAPLUS
DOCUMENT NUMBER: 78:5375
TITLE: Dyes of the condensed quinoline system
AUTHOR(S): Barni, E.
CORPORATE SOURCE: Ist. Chim. Org. Ind., Univ. Torino, Turin, Italy
SOURCE: Tinctoria (1972), 69(9), 309-14
CODEN: TINCAW; ISSN: 0040-7984
DOCUMENT TYPE: Journal
LANGUAGE: Italian

AB The relation of color and structure was determined from λmaximum values for a series of dyes based an thiazoloquinolines and thiadiazoloquinolines. NH2-substituted benzothiazoles and 1,2,3-benzothiadiazoles were condensed with MeCH:CHCHO and CH2:CHCOMe, and quaternized with MeI to give I and II (X = CH, N; 7-or 9-Me). Styryl(λmax529-597nm) and azamethine dyes (λmax448-495nm) were prepared by condensing I and II with p-Me2NC6H4CHO and with XnC6H5-nN:NONa). Quinophthalone dyes (λmax422-433nm) were also prepared by condensation of 7-methylthiazoloquinolines and 7-methylthiadiazoloquinolines with phthalic anhydride. The λmaximum of azamethine and styryl dyes prepared from 9-methyl-substituted heterocycles were higher than those of dyes from the 7-methyl isomer; a bathochromic shift was also observed when the thiazole nucleus was replaced by thiadiazole. The azamethine λmaximum was also influenced by the substituent(s) (X), increasing through the series X = 2,5-Cl2, 4-NO2, 2-MeO-4-NO2. The λmaximum of the quinophthalones were slightly higher for those containing the thiadiazole nucleus. 2,6-Dimethyl-7,9-bis[p-(dimethylamino)styryl]selenazolo[5,4-f]quinolinium iodide, prepared by the same method as the other styryl dyes, exhibited 2 maximum between 500 and 600nm.

IT **40071-26-9**
RL: PRP (Properties)
(visible spectrum of)

RN 40071-26-9 CAPLUS
CN 1H-Indene-1,3(2H)-dione, 2-thiazolo[4,5-f]quinolin-7-yl- (9CI) (CA INDEX NAME)



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=> fil reg
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                               13.03     174.57

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)    SINCE FILE      TOTAL
                                                   ENTRY    SESSION
CA SUBSCRIBER PRICE                               -1.46      -1.46

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STRUCTURE FILE UPDATES:   17 JAN 2005  HIGHEST RN 815574-28-8
DICTIONARY FILE UPDATES:  17 JAN 2005  HIGHEST RN 815574-28-8

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

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Uploading C:\STNEXP4\QUERIES\10-805860a.str
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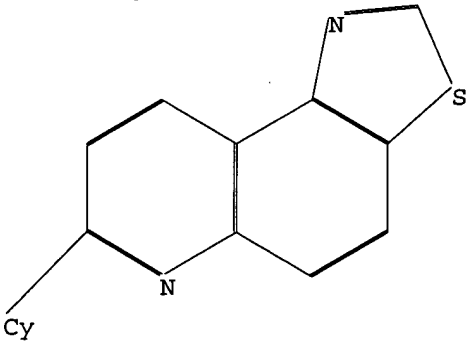

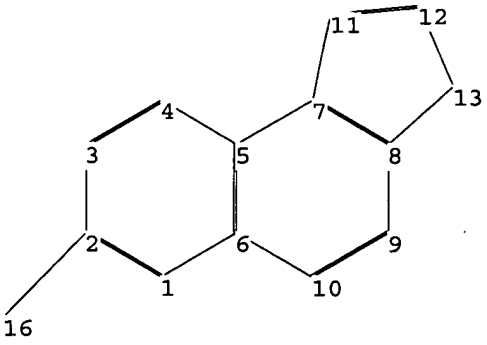


```
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16
ring nodes :
1  2  3  4  5  6  7  8  9  10  11  12  13
chain bonds :
2-16
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  5-7  6-10  7-8  7-11  8-9  8-13  9-10  11-12  12-13
exact/norm bonds :
```

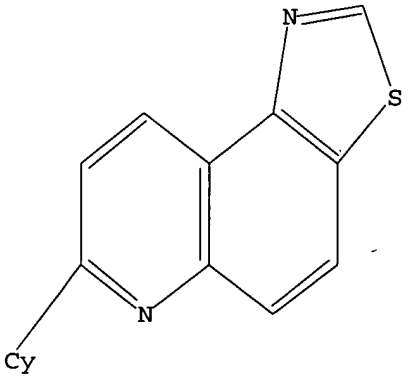
2-16 7-11 11-12
exact bonds :
8-13 12-13
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10
isolated ring systems :
containing 1 :

G1:C,H

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 16:Atom

L4 STRUCTURE UPLOADED

=> d
L4 HAS NO ANSWERS
L4 STR



Cy
G1 C,H

Structure attributes must be viewed using STN Express query preparation.

=> s l4 ful
FULL SEARCH INITIATED 15:24:18 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 622 TO ITERATE

100.0% PROCESSED 622 ITERATIONS 7 ANSWERS
SEARCH TIME: 00.00.01

L5 7 SEA SSS FUL L4

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	161.33	335.90

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)        SINCE FILE      TOTAL
                                                     ENTRY    SESSION
CA SUBSCRIBER PRICE                                   0.00      -1.46

FILE 'CAPLUS' ENTERED AT 15:24:20 ON 18 JAN 2005
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FILE COVERS 1907 - 18 Jan 2005  VOL 142 ISS 4
FILE LAST UPDATED: 17 Jan 2005  (20050117/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.


=> s l5
L6            2 L5

=> d his

     (FILE 'HOME' ENTERED AT 15:19:17 ON 18 JAN 2005)

     FILE 'REGISTRY' ENTERED AT 15:19:41 ON 18 JAN 2005
L1               STRUCTURE UPLOADED
L2             4 S L1 FUL

     FILE 'CAPLUS' ENTERED AT 15:20:00 ON 18 JAN 2005
L3             2 S L2

     FILE 'REGISTRY' ENTERED AT 15:24:00 ON 18 JAN 2005
L4               STRUCTURE UPLOADED
L5             7 S L4 FUL

     FILE 'CAPLUS' ENTERED AT 15:24:20 ON 18 JAN 2005
L6             2 S L5

=> s l6 not l3
L7            0 L6 NOT L3

=> d ibib abs hitstr l6 2
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L6 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1973:5375 CAPLUS
DOCUMENT NUMBER: 78:5375
TITLE: Dyes of the condensed quinoline system
AUTHOR(S): Barni, E.
CORPORATE SOURCE: Ist. Chim. Org. Ind., Univ. Torino, Turin, Italy
SOURCE: Tinctoria (1972), 69(9), 309-14
CODEN: TINCAW; ISSN: 0040-7984
DOCUMENT TYPE: Journal
LANGUAGE: Italian

AB The relation of color and structure was determined from λmaximum values for a series of dyes based an thiazoloquinolines and thiadiazoloquinolines. NH2-substituted benzothiazoles and 1,2,3-benzothiadiazoles were condensed with MeCH:CHCHO and CH2:CHCOMe, and quaternized with MeI to give I and II (X = CH, N; 7-or 9-Me). Styryl(λmax529-597nm) and azamethine dyes (λmax448-495nm) were prepared by condensing I and II with p-Me2NC6H4CHO and with XnC6H5-nN:NONa). Quinophthalone dyes (λmax422-433nm) were also prepared by condensation of 7-methylthiazoloquinolines and 7-methylthiadiazoloquinolines with phthalic anhydride. The λmaximum of azamethine and styryl dyes prepared from 9-methyl-substituted heterocycles were higher than those of dyes from the 7-methyl isomer; a bathochromic shift was also observed when the thiazole nucleus was replaced by thiadiazole. The azamethine λmaximum was also influenced by the substituent(s) (X), increasing through the series X = 2,5-Cl2, 4-NO2, 2-MeO-4-NO2. The λmaximum of the quinophthalones were slightly higher for those containing the thiadiazole nucleus. 2,6-Dimethyl-7,9-bis[p-(dimethylamino)styryl]selenazolo[5,4-f]quinolinium iodide, prepared by the same method as the other styryl dyes, exhibited 2 maximum between 500 and 600nm.

IT **40071-26-9**
RL: PRP (Properties)
(visible spectrum of)

RN 40071-26-9 CAPLUS
CN 1H-Indene-1,3(2H)-dione, 2-thiazolo[4,5-f]quinolin-7-yl- (9CI) (CA INDEX NAME)